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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 4	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 17	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 22	JUL 28	CA/CAplus patent coverage enhanced
NEWS 23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 25	JUL 28	STN Viewer performance improved
NEWS 26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

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Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 20:52:59 ON 03 AUG 2008

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 20:53:08 ON 03 AUG 2008
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STRUCTURE FILE UPDATES:    2 AUG 2008  HIGHEST RN 1037774-47-2
DICTIONARY FILE UPDATES:   2 AUG 2008  HIGHEST RN 1037774-47-2

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(9).str

L1      STRUCTURE UPLOADED

=> s l1 sss sam
SAMPLE SEARCH INITIATED 20:53:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        3 TO ITERATE

100.0% PROCESSED         3 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             3 TO      163
PROJECTED ANSWERS:                0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss ful
FULL SEARCH INITIATED 20:53:49 FILE 'REGISTRY'
```

```
FULL SCREEN SEARCH COMPLETED -      102 TO ITERATE

100.0% PROCESSED      102 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3             0 SEA SSS FUL L1

=>
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L4       STRUCTURE UPLOADED

=> s l4 sss sam
SAMPLE SEARCH INITIATED 20:54:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       82 TO ITERATE

100.0% PROCESSED       82 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1097 TO     2183
PROJECTED ANSWERS:               0 TO        0

L5             0 SEA SSS SAM L4

=> s l4 sss ful
FULL SEARCH INITIATED 20:54:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1575 TO ITERATE

100.0% PROCESSED     1575 ITERATIONS                               0 ANSWERS
SEARCH TIME: 00.00.01

L6             0 SEA SSS FUL L4

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(7).str

L7       STRUCTURE UPLOADED

=> s l7 sss sam
SAMPLE SEARCH INITIATED 20:55:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       22 TO ITERATE

100.0% PROCESSED       22 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          159 TO      721
PROJECTED ANSWERS:               0 TO        0

L8             0 SEA SSS SAM L7

=> s l7 sss ful
FULL SEARCH INITIATED 20:55:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      416 TO ITERATE

100.0% PROCESSED      416 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L9             0 SEA SSS FUL L7

=>
```

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Uploading C:\Documents and Settings\ahughes\My Documents\10528613(6).str

L10     STRUCTURE UPLOADED

=> s l10 sss ful
FULL SEARCH INITIATED 20:56:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      201 TO ITERATE

100.0% PROCESSED      201 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L11           0 SEA SSS FUL L10

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(5).str

L12     STRUCTURE UPLOADED

=> s l12 sss sam
SAMPLE SEARCH INITIATED 20:56:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      194 TO ITERATE

100.0% PROCESSED      194 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         3045 TO     4715
PROJECTED ANSWERS:               0 TO        0

L13           0 SEA SSS SAM L12

=> s l12 sss ful
FULL SEARCH INITIATED 20:56:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3663 TO ITERATE

100.0% PROCESSED     3663 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L14           0 SEA SSS FUL L12

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(4).str

L15     STRUCTURE UPLOADED

=> s l15 sss sam
SAMPLE SEARCH INITIATED 20:57:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED        5 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           5 TO      234
PROJECTED ANSWERS:              0 TO        0

L16           0 SEA SSS SAM L15

=> s l15 sss ful
FULL SEARCH INITIATED 20:57:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       87 TO ITERATE
```

```
100.0% PROCESSED       87 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L17           0 SEA SSS FUL L15

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(3).str

L18     STRUCTURE UPLOADED

=> s l18 sss sam
SAMPLE SEARCH INITIATED 20:58:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        9 TO ITERATE

100.0% PROCESSED        9 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               0 TO        0

L19           0 SEA SSS SAM L18

=> s l18 sss ful
FULL SEARCH INITIATED 20:58:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      311 TO ITERATE

100.0% PROCESSED      311 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L20           0 SEA SSS FUL L18

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(2).str

L21     STRUCTURE UPLOADED

=> s l21 sss sam
SAMPLE SEARCH INITIATED 20:59:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      263 TO ITERATE

100.0% PROCESSED      263 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4287 TO     6233
PROJECTED ANSWERS:               0 TO        0

L22           0 SEA SSS SAM L21

=> s l22 sss ful
FULL SEARCH INITIATED 20:59:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4885 TO ITERATE

100.0% PROCESSED     4885 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L23           0 SEA SSS FUL L21

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(1).str
```

```
L24     STRUCTURE UPLOADED

=> s l24 sss sam
SAMPLE SEARCH INITIATED 20:59:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      263 TO ITERATE

100.0% PROCESSED      263 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4287 TO     6233
PROJECTED ANSWERS:               0 TO        0

L25           0 SEA SSS SAM L24

=> s l24 sss ful
FULL SEARCH INITIATED 20:59:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4885 TO ITERATE

100.0% PROCESSED     4885 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L26           0 SEA SSS FUL L24

=>
Uploading C:\Documents and Settings\ahughes\My Documents\10528613(10).str

L27     STRUCTURE UPLOADED

=> s l27 sss sam
SAMPLE SEARCH INITIATED 21:06:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    40358 TO ITERATE

  5.0% PROCESSED     2000 ITERATIONS                               32 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       795157 TO   819163
PROJECTED ANSWERS:           11390 TO    14438

L28          32 SEA SSS SAM L27

=> s l27 sss ful
FULL SEARCH INITIATED 21:07:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   802952 TO ITERATE

100.0% PROCESSED   802952 ITERATIONS                          13349 ANSWERS
SEARCH TIME: 00.00.08

L29       13349 SEA SSS FUL L27

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                             1790.04    1790.25

FILE 'CAPLUS' ENTERED AT 21:07:25 ON 03 AUG 2008
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FILE COVERS 1907 - 3 Aug 2008 VOL 149 ISS 6
FILE LAST UPDATED: 2 Aug 2008 (20080802/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l29
L30 1281 L29

=> s immune disorder or autoimmune or inflammatory disorder or pain or rheumatoid arthritis or multiple sclerosis or osteoarthritis or osteoporosis or musculoskeletal or cancer pain or cancer or acute pain migraine or post operative pain or neuropathic pain or visceral pain

```
        240935 IMMUNE
        275958 DISORDER
           686 IMMUNE DISORDER
                 (IMMUNE(W)DISORDER)
         60074 AUTOIMMUNE
        210018 INFLAMMATORY
        275958 DISORDER
           696 INFLAMMATORY DISORDER
                 (INFLAMMATORY(W)DISORDER)
         60778 PAIN
         37813 RHEUMATOID
         53134 ARTHRITIS
         33881 RHEUMATOID ARTHRITIS
                 (RHEUMATOID(W)ARTHRITIS)
        493895 MULTIPLE
         31892 SCLEROSIS
         19705 MULTIPLE SCLEROSIS
                 (MULTIPLE(W)SCLEROSIS)
         10766 OSTEOARTHRITIS
         23253 OSTEOPOROSIS
          2629 MUSCULOSKELETAL
        368830 CANCER
         60778 PAIN
           841 CANCER PAIN
                 (CANCER(W)PAIN)
        368830 CANCER
        262913 ACUTE
         60778 PAIN
          7336 MIGRAINE
             5 ACUTE PAIN MIGRAINE
                 (ACUTE(W)PAIN(W)MIGRAINE)
        269573 POST
         34636 OPERATIVE
         60778 PAIN
           297 POST OPERATIVE PAIN
```

```
                (POST(W)OPERATIVE(W)PAIN)
          5901 NEUROPATHIC
         60778 PAIN
          4737 NEUROPATHIC PAIN
                (NEUROPATHIC(W)PAIN)
         14559 VISCERAL
         60778 PAIN
           935 VISCERAL PAIN
                (VISCERAL(W)PAIN)
L31      536469 IMMUNE DISORDER OR AUTOIMMUNE OR INFLAMMATORY DISORDER OR PAIN
                OR RHEUMATOID ARTHRITIS OR MULTIPLE SCLEROSIS OR OSTEOARTHRITIS
                OR OSTEOPOROSIS OR MUSCULOSKELETAL OR CANCER PAIN OR CANCER OR
                ACUTE PAIN MIGRAINE OR POST OPERATIVE PAIN OR NEUROPATHIC PAIN
                OR VISCERAL PAIN

=> s l30 and l31
L32         226 L30 AND L31

=> s l32 and cannabinoid
          8576 CANNABINOID
L33          0 L32 AND CANNABINOID

=> d ibib hitstr abs l32 1-226

L32  ANSWER 1 OF 226  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2008:831769  CAPLUS
TITLE:                    Polycyclic heteroaryl substituted triazoles useful as
                          Axl inhibitors and their preparation
INVENTOR(S):              Goff, Dane; Zhang, Jing; Singh, Rajinder; Holland,
                          Sacha; Yu, Jiaxin; Heckrodt, Thilo; Ding, Pingyu;
                          Litvak, Joane
PATENT ASSIGNEE(S):       Rigel Pharmaceuticals, Inc., USA
SOURCE:                   PCT Int. Appl., 356pp., which which
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2008083367         A2    20080710    WO 2007-US89177         20071229
         W:  AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:                       US 2006-882850P     P  20061229
                                             US 2007-895400P     P  20070316
                                             US 2007-970931P     P  20070907
IT   1037624-88-6P 1037624-95-5P 1037624-96-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of polycyclic heteroaryl substituted triazoles
        as Axl inhibitors useful in the treatment of diseases)
RN   1037624-88-6  CAPLUS
```

tryptophan (I) metabolites of the kynurenine (II) and serotonin pathways. Of 21 rheumatoid patients, 12 excreted increased quantities of II, 11 increased 3-hydroxyanthranilic acid, and 6 increased xanthurenic acid. No difference was found in the excretion of N-methylnicotinamide, total indoles, free and total indole-3-acetic acid, tryptamine, and 5-hydroxyindole-3-acetic acid. Thus, the abnormal metabolism of I of patients with rheumatoid arthritis results from a shunt of I into the II pathway. 22 references.

```
=> s l43 and cannabinoid
          8576 CANNABINOID
L44          7 L43 AND CANNABINOID

=> d ibib hitstr abs l44 1-7
```

L44 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

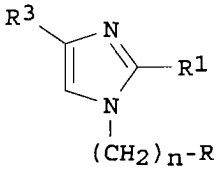
ACCESSION NUMBER: 2008:319861 CAPLUS
DOCUMENT NUMBER: 148:331719
TITLE: Preparation of imidazole derivatives as modulators of cannabinoid receptors CB2
INVENTOR(S): Osakada, Naoto; Osakada, Mariko; Sawada, Takashi; Kaneko, Satoshi; Mizutani, Atsuko; Uesaka, Noriaki; Nakasato, Yoshisuke; Katayama, Keishi; Sugawara, Masamori; Kitamura, Yushi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 216pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008029825	A1	20080313	WO 2007-JP67261	20070905

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-239907 A 20060905
OTHER SOURCE(S): MARPAT 148:331719
GI



I

AB The title compds. [I; R1 = each (un)substituted lower alkyl, aralkyl, cycloalkyl, lower alkenyl, aliphatic heterocyclyl, or aromatic heterocyclyl; R2

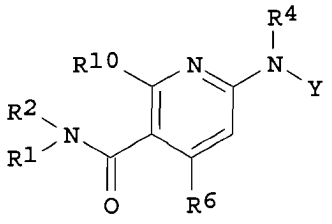
= each (un)substituted cycloalkyl, aliphatic heterocyclyl, aryl, or aromatic heterocyclyl; R3 = each (un)substituted aryl, condensed aromatic hydrocarbyl, aromatic heterocyclyl, or vinyl; n = an integer of 0-3] or pharmaceutically acceptable salts thereof are prepared There are disclosed cannabinoid CB2 receptor modulators, in particular cannabinoid CB2 receptor agonists or preventives and/or therapeutics for pains. Thus, 2-tert-butyl-4-(3-nitrophenyl)-1H-imidazole was dissolved in DMF, treated with NaH, stirred for 1 h under ice-cooling, treated with 2-(bromomethyl)tetrahydro-2H-pyran and NaI, and stirred at room temperature for 4 h to give 20% 2-tert-Butyl-4-(3-nitrophenyl)-1-(tetrahydropyran-2-ylmethyl)-1H-imidazole (II). II increased the specific binding of [35S]GTPγS to human CB2 receptor with EC50 of <1 μM.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:823696 CAPLUS
DOCUMENT NUMBER: 143:229727
TITLE: Preparation of carbamoyl-amino-pyridine derivatives as cannabinoid receptor modulators
INVENTOR(S): Giblin, Gerard Martin Paul; Jandu, Karamjit Singh; Mitchell, William Leonard; Wall, Ian David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075464	A1	20050818	WO 2005-GB350	20050201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1735301	A1	20061227	EP 2005-702090	20050201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
JP 2007520539	T	20070726	JP 2006-551908	20050201
PRIORITY APPLN. INFO.:			GB 2004-2357 A	20040203
			WO 2005-GB350 W	20050201

OTHER SOURCE(S): CASREACT 143:229727; MARPAT 143:229727
GI



I

AB Title compds. I [Y = (un)substituted phenyl; R1 = H, alkyl, cycloalkyl, etc.; R2 = (CH2)0-1R3; R3 = (un)substituted 4-8 membered non-aromatic heterocyclyl ring; R4 = H, alkyl, cycloalkyl, etc.; R6 = cycloalkyl, etc.; R10 = cycloalkyl, etc.] are prepared For instance, 6-(3-Chlorophenylamino)-4-cyclopentyl-N-((tetrahydropyran-4-yl)methyl) nicotinamide is prepared from 6-chloro-4-cyclopentyl-N-((tetrahydropyran-4-yl)methyl)nicotinamide (preparation given) and 3-chloroaniline. Selected example compds. exhibit EC50 < 300 nM at the cloned human CB2 receptor. I are useful for the treatment of pain.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:823578 CAPLUS

DOCUMENT NUMBER: 143:229872

TITLE: Preparation of aminopyri(mi)dinecarboxamide CB2 modulators for use in combination with PDE4 inhibitors for treating pain, immune, inflammatory and rheumatic diseases

INVENTOR(S): Green, Richard Howard; Brown, Andrew James; Connor, Helen Elizabeth; Eatherton, Andrew John; Giblin, Gerard Martin Paul; Jandu, Karamjit Singh; Knowles, Richard Graham; Mitchell, William Leonard; Naylor, Alan; O'Shaughnessy, Celestine Theresa; Palombi, Giovanni; Rawlings, Derek Anthony; Slingsby, Brian Peter; Tralau-Stewart, Catherine Jane; Whittington, Andrew Richard; Williamson, Richard Alexander

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Doughty, Jennifer Margaret

SOURCE: PCT Int. Appl., 192 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

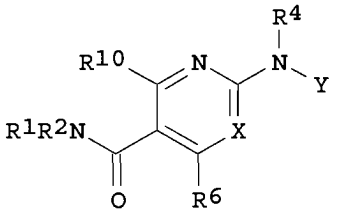
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005074939	A1	20050818	WO 2005-GB348		20050201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1732561	A1	20061220	EP 2005-702088		20050201
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV					
JP 2007520538	T	20070726	JP 2006-551906		20050201
US 20080132505	A1	20080605	US 2006-597527		20061102
PRIORITY APPLN. INFO.:			GB 2004-2355	A	20040203
			WO 2005-GB348	W	20050201

OTHER SOURCE(S): CASREACT 143:229872; MARPAT 143:229872

GI



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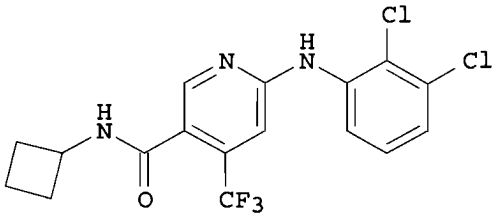


II

AB The invention is related to combination of one or more CB2 modulators of formula I [X = CH, N; Y = (un)substituted Ph; R1 = H, cyclo/alkyl, (un)substituted haloalkyl; R2 = C(R7)2R3; R3 = (un)substituted non-aromatic heterocyclyl, cycloalk(en)yl, 5-6 membered aromatic heterocyclyl, etc.; R4 = H, COMe, SO2Me, cyclo/alkyl, (un)substituted haloalkyl; R6 = Me, Cl, CHmFn; n = 1-3; m = 0-2; (n + m) = 3; R7 = H, alkyl; when X = CH, R6 = Cl, or (un)substituted alkyl and R10 = H, or R10 = Cl, or (un)substituted alkyl and R10 = H; and their pharmaceutically acceptable salts] and one or more PDE4 inhibitors useful for treating conditions which are mediated by the activity of CB2 receptors or conditions which are mediated by PDE4, such as an immune disorder, an inflammatory disorder, pain, rheumatoid. The invention is also related to the preparation of CB2 modulators I. For example, reacting cyclobutylamine with 6-(2,3-dichlorophenylamino)-4-trifluoromethylnicotinic acid (preparation given) gave II in 81% yield. Selected I had EC50 values of >300 nM but <1000 nM and efficacy value of >50% at the cloned human cannabinoid CB2 receptor. Three formulations are given.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:292017 CAPLUS
DOCUMENT NUMBER: 140:303546
TITLE: Preparation of pyridine derivatives as CB2 receptor modulators
INVENTOR(S): Green, Richard Howard; Eatherton, Andrew John; Giblin, Gerard Martin Paul; Jandu, Karamjit Singh; Mitchell, William Leonard; Naylor, Alan; Palombi, Giovanni; Rawlings, Derek Anthony; Slingsby, Brian Peter; Whittington, Andrew Richard
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029026	A1	20040408	WO 2003-EP10930	20030925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

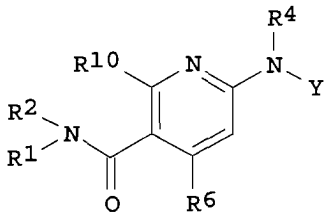
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2500231	A1	20040408	CA 2003-2500231		20030925
AU 2003268907	A1	20040419	AU 2003-268907		20030925
BR 2003014635	A	20050802	BR 2003-14635		20030925
EP 1565442	A1	20050824	EP 2003-750676		20030925
EP 1565442	B1	20071114			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1703402	A	20051130	CN 2003-825472		20030925
JP 2006503845	T	20060202	JP 2004-539056		20030925
NZ 538943	A	20070126	NZ 2003-538943		20030925
AT 378317	T	20071115	AT 2003-750676		20030925
ES 2294313	T3	20080401	ES 2003-750676		20030925
ZA 2005002084	A	20060222	ZA 2005-2084		20050311
MX 2005PA03263	A	20050705	MX 2005-PA3263		20050328
NO 2005002028	A	20050603	NO 2005-2028		20050426
US 20060240048	A1	20061026	US 2006-528613		20060228
PRIORITY APPLN. INFO.:			GB 2002-22493	A	20020927
			WO 2003-EP10930	W	20030925

OTHER SOURCE(S): MARPAT 140:303546
GI



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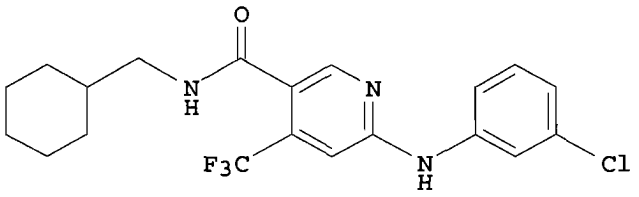


II

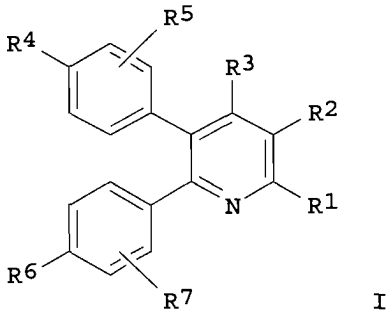
AB Title compds. I [Y = (un)substituted phenyl; R1 = H, (cyclo)alkyl; R2 = (CH2)0-1R3, etc.; R3 = 4-8-membered non-aromatic heterocycle, etc.; R4 = H, alkyl, cycloalkyl, etc.; R6 = alkyl, Cl and R10 = H or R10 = alkyl, Cl and R6 = H] are prepared For instance, 6-(3-chlorophenylamino)-4-(trifluoromethyl)nicotinic acid•HCl (preparation given) is coupled to 4-aminomethylcyclohexane (DMF, NMM, HOBt, EDCI, 6 h) to give II. Selected examples, including II, had EC50 < 300 nM at the cloned human cannabinoid CB2 receptor. I are useful for the treatment of pain, which diseases are caused directly or indirectly by an

increase or decrease in activity of the cannabinoid receptor.

L44 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:796416 CAPLUS
DOCUMENT NUMBER: 139:307686
TITLE: Preparation of 2,3-diphenylpyridines as cannabinoid-1 receptor antagonists and inverse agonists
INVENTOR(S): Finke, Paul E.; Meurer, Laura C.; Debenham, John S.; Toupence, Richard B.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003082191	A2	20031009	WO 2003-US9005		20030324
WO 2003082191	A3	20040115			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2479744	A1	20031009	CA 2003-2479744		20030324
AU 2003225964	A1	20031013	AU 2003-225964		20030324
EP 1492784	A2	20050105	EP 2003-745578		20030324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005531520	T	20051020	JP 2003-579734		20030324
US 20050182103	A1	20050818	US 2004-508043		20040917
US 7271266	B2	20070918			
PRIORITY APPLN. INFO.:			US 2002-368334P	P	20020328
			WO 2003-US9005	W	20030324

OTHER SOURCE(S): MARPAT 139:307686
GI



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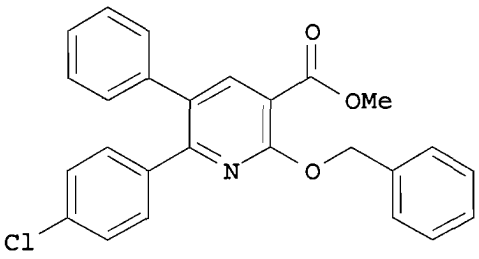


II

AB Title compds. I [wherein R1 = H, halo, CN, or (un)substituted alkyl, heterocycloalkyl(alkyl), heteroaryl, (hetero)arylalkyl, acyl, carboxy, (thio)ether, amino, carbamoyl, acylamino, carboxyamino, or ureido; R2 = H, CN, carboxy, halo, NO2, CF3, or (un)substituted carbamoyl; provided that R1 and R2 are not both H; R3 = H, CF3, or (un)substituted (cyclo)alkyl; R4-R7 = independently H, halo, amino, carboxy, alkyl, alkoxy, aryl(alkyl), OH, CF3, alkanoyloxy, or carbamoyloxy; provided that R6 and R7 are not both H; and pharmaceutically acceptable salts thereof] were prepared as cannabinoid-1 (CB1) receptor antagonists and/or inverse agonists (no data). For example, benzyl 4-chlorophenyl ketone was condensed with DMF dimethylacetal in DMF to give 3-(dimethylamino)-1-(4-chlorophenyl)-2-phenylprop-2-en-1-one. Cyclocondensation of the vinyl ketone with cyanoacetamide using NaH in DMF and MeOH provided the 3-cyano-2-pyridone. Conversion of the nitrile to the carboxylic acid with 50% H2SO4, followed by esterification using HCl in MeOH gave Me 6-(4-chlorophenyl)-5-phenyl-2-oxo-1,2-dihydropyridine-3-carboxylate. O-alkylation of the pyridone with benzyl bromide in the presence of Cs2CO3 in DMF afforded the title 2,3-diphenylpyridine II. Compds. of the invention and their pharmaceutical compns. serve as centrally acting drugs for the treatment, prevention, and suppression of diseases mediated by the CB1 receptor, such as psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome, the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia (no data). I are also useful for the treatment of substance abuse disorders, obesity or eating disorders, asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver (no data).

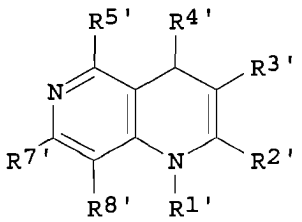
L44 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:261846 CAPLUS
DOCUMENT NUMBER: 138:271665
TITLE: Preparation of 1,6-naphthyridine derivatives as antidiabetics
INVENTOR(S): Wang, Yamin; Bullock, William H.; Chen, Libing
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027113	A1	20030403	WO 2002-US30376	20020923
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463039	A1	20030403	CA 2002-2463039	20020923
AU 2002362602	A1	20030407	AU 2002-362602	20020923
US 6677352	B1	20040113	US 2002-253215	20020923
US 20040014751	A1	20040122	US 2002-253104	20020923
US 6900205	B2	20050531		
EP 1432711	A1	20040630	EP 2002-799627	20020923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012864	A	20040817	BR 2002-12864	20020923
CN 1578780	A	20050209	CN 2002-823019	20020923
CN 1578781	A	20050209	CN 2002-823021	20020923
JP 2005504808	T	20050217	JP 2003-530701	20020923
HU 2004002310	A2	20050228	HU 2004-2310	20020923
US 20040157875	A1	20040812	US 2003-684299	20031010
US 6964971	B2	20051115		
MX 2004PA02136	A	20050307	MX 2004-PA2136	20040305
IN 2004DN00692	A	20050401	IN 2004-DN692	20040318
NO 2004001560	A	20040511	NO 2004-1560	20040416
ZA 2004003063	A	20050422	ZA 2004-3063	20040422
ZA 2004003064	A	20050422	ZA 2004-3064	20040422
US 20040209866	A1	20041021	US 2004-834357	20040428
US 7109196	B2	20060919		
US 20060189609	A1	20060824	US 2006-409536	20060421

PRIORITY APPLN. INFO.:		
US 2001-324511P	P	20010926
US 2002-253104	A3	20020923
US 2002-253215	A1	20020923
WO 2002-US30376	W	20020923
US 2004-834357	A3	20040428

OTHER SOURCE(S): MARPAT 138:271665
GI



I

AB The invention relates generally to naphthyridines and more specifically, to 1,6-naphthyridines (shown as I; variables defined below; e.g. 2-anilino-5-chloro-7-methyl-1-phenyl-1,6-naphthyridin-4(1H)-one) and pharmaceutical compns. containing such derivs. Methods of the invention comprise administration of a naphthyridine derivative of the invention for the treatment of diabetes and related disorders. A typical pos. effect of a compound results in a 12-20% reduction in the glucose AUC relative to the AUC of the vehicle-treated group of male Wistar rats; compds. of present invention have a blood glucose lowering effect in this in vivo assay. Although the methods of preparation are not claimed, .apprx.50 example prepns. of naphthyridines, mostly 1,8-naphthyridin-4(1H)-ones, plus example prepns. of intermediates are included; characterization data for a large number of 1,6- and 1,8-naphthyridin-4(1H)-ones are also included. For I: R1' = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, and A-R9, or R1' = C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms = N, S(O)0-2 and O, C3-8 cycloalkyl, C4-8 cycloalkenyl, 5-7 membered heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O, and 5-7 membered heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O. A = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, and C1-8 haloalkyl; R9 = hydroxy, C1-6 alkoxy, C3-6 cycloalkoxy, O-A-R14, NR11R12; or R9 = C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms = N, S(O)0-2 and O, C3-8 cycloalkyl, C5-8 cycloalkenyl or R9 = 5-7 membered heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O and 5-7 membered heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O. R2' = NR15R16, S(O)0-2R17, and OR17. R3' = C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms = N, S(O)0-2 and O, C3-8 cycloalkyl, heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2, and O, C4-8 cycloalkenyl, and heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O; or R3' = C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 haloalkyl, H, nitro, halogen, NR19R20, A-OR19, A-NR19R20, and A-R20. R4' = O, S, and OR21. R5', R7', and R8' = C3-8 cycloalkyl, C4-8 cycloalkenyl, C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms or R5', R7', and R8' = 5-7 membered heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O and 5-7 membered heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O or R5', R7', and R8' = H, halogen, nitrile, nitro, hydroxy, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-8 haloalkyl, C1-8 alkoxy, C1-8 haloalkoxy, C3-8 cycloalkoxy, A-R23, A(OR22)R23, NR27R28, A-NR27R28, A-Q-R29, Q-R29, Q-A-NR24R25, C(O)R24, C(O)OR24, C(O)NR24R25, A-C(O)R24, A-C(O)OR24, and A-C(O)NR24R25; addnl. definitions are given in the claims.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:261845 CAPLUS
DOCUMENT NUMBER: 138:271664
TITLE: Preparation of 1,8-naphthyridine derivatives as antidiabetics
INVENTOR(S): Wang, Yamin; Gunn, David E.; Liu, Qingjie; Liang, Sidney X.; Bullock, William H.; Liu, Donglei; Magnuson, Steven R.; Li, Tindy; Mull, Eric S.; Wood, Jill E.; Qi, Ning
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003027112	A1	20030403	WO 2002-US30176	20020923

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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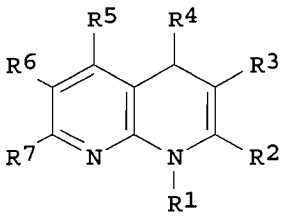
GI



I

AB The invention relates generally to naphthyridines and more specifically, to 1,8-naphthyridines (shown as I; variables defined below; e.g. 2-anilino-1,7-diphenyl-5-(trifluoromethyl)-1,8-naphthyridin-4(1H)-one) and pharmaceutical compns. containing such derivs. Methods of the invention comprise administration of a naphthyridine derivative of the invention for the treatment of diabetes and related disorders. A typical pos. effect of a compound results in a 12-20% reduction in the glucose AUC relative to the AUC of the vehicle-treated group of male Wistar rats; compds. of present invention have a blood glucose lowering effect in this in vivo assay.

Although the methods of preparation are not claimed, .apprx.50 example prepns. of naphthyridines, mostly 1,8-naphthyridin-4(1H)-ones but also some 1,6-naphthyridin-4(1H)-ones, plus example prepns. of intermediates are included; characterization data for a large number of 1,6- and 1,8-naphthyridin-4(1H)-ones are also included. The examples section appears to be identical to that of patent WO 03/027113 A1 (CAPLUS accession number 2003:261846). For I: R1 = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, and A-R9, or R1 = C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms = N, S(O)0-2 and O, C3-8 cycloalkyl, C4-8 cycloalkenyl, 5-7 membered heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O, and 5-7 membered heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O. A = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, and C1-8 haloalkyl; R9 = hydroxy, C1-6 alkoxy, C3-6 cycloalkoxy, O-A-R14, NR11R12; or R9 = C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms = N, S(O)0-2 and O, C3-8 cycloalkyl, C5-8 cycloalkenyl or R9 = 5-7 membered heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O and 5-7 membered heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O. R2 = NR15R16, S(O)0-2R17, and OR17. R3 = C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms = N, S(O)0-2 and O, C3-8 cycloalkyl, heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2, and O, C4-8 cycloalkenyl, and heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O; or R3 = C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 haloalkyl, H, nitro, halogen, NR19R20, A-OR19, A-NR19R20, and A-R20. R4 = O, S, and OR21. R5, R6 and R7 = C3-8 cycloalkyl, C4-8 cycloalkenyl, C6-10 aryl, C2-9 heteroaryl with 1-4 heteroatoms or R5, R6 and R7 = 5-7 membered heterocycloalkyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O and 5-7 membered heterocycloalkenyl with 3-6 C atoms and 1-2 heteroatoms = N, S(O)0-2 and O or R5, R7, and R8 = H, halogen, nitrile, nitro, hydroxy, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-8 haloalkyl, C1-8 alkoxy, C1-8 haloalkoxy, C3-8 cycloalkoxy, A-R23, A(OR22)R23, NR27R28, A-NR27R28, A-Q-R29, Q-R29, Q-A-NR24R25, C(O)R24, C(O)OR24, C(O)NR24R25, A-C(O)R24, A-C(O)OR24, and A-C(O)NR24R25; addnl. definitions and provisos are given in the claims.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	370.25	3635.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-66.40	-247.20

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 1, 2008 (20080801/UP).

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FILE 'REGISTRY' ENTERED AT 20:53:08 ON 03 AUG 2008
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L3 0 S L1 SSS FUL
L4 STRUCTURE UPLOADED
L5 0 S L4 SSS SAM
L6 0 S L4 SSS FUL

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L24             STRUCTURE UPLOADED
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L27             STRUCTURE UPLOADED
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L31      536469 S IMMUNE DISORDER OR AUTOIMMUNE OR INFLAMMATORY DISORDER OR PAI
L32         226 S L30 AND L31
L33           0 S L32 AND CANNABINOID

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L36         143 S L34 SSS FUL

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L38      159310 S IMMUNE DISORDER OR AUTOIMMUNE OR INFLAMMATORY DISORDER OR PAI
L39          10 S L38 AND L37
L40       24466 S METHYL NICOTINAMIDE OR METHYLNICOTINAMIDE OR NICOTINAMIDE
L41        1899 S METHYLNICOTINAMIDE OR METHYL NICOTINAMIDE
L42      159310 S IMMUNE DISORDER OR AUTOIMMUNE OR INFLAMMATORY DISORDER OR PAI
L43          66 S L42 AND L41
L44           7 S L43 AND CANNABINOID

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